Application No. 09/627,787 Attorney Docket No. P 30,614 USA SYNNESTVEDT & LECHNER LLP

In the Claims

Claims 1 to 7 (Cancelled)

8. (Currently Amended) A conjugate which comprises a molecule to be transported which is capable of being transported across a biological membrane and at least one aryl radical of the formula I,

$$-$$
aryl $\left[X \xrightarrow{X} R1\right]_{n}$

(I)

wherein

aryl is a group which contains at least one ring having an aromatic character;

X is O or N;

Y is O, S or $NH-R^2$;

- R¹ is a substituted or unsubstituted, saturated or unsaturated, C₁-C₂₃ alkyl hydrocarbon radical, which is straight-chain or branched and may contain double and/or triple bonds;
- R² is a substituted or unsubstituted, saturated or unsaturated, C₁-C₁₈ alkyl hydrocarbon radical, which is straight-chain or branched and may contain double and/or triple bonds; and
- n is an integer greater than or equal to 1,

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wherein the aryl radical is attached to the <u>said</u> molecule to be transported via a chemical group, and wherein the chemical group together with the aryl radical has the formula II

where aryl, X, Y and R1 are as defined above, and

R³ is a carbonyl or thioamide group.

9. (Currently Amended) A conjugate which comprises a molecule to be transported which is capable of being transported across a biological membrane and at least one aryl radical of the formula I,

$$-$$
aryl $\left[X\right]_{n}$

(I)

wherein

aryl is a group which contains at least one ring having an aromatic character;

X is O or N;

Y is O, S or NH-R²;

- R¹ is a substituted or unsubstituted, saturated or unsaturated, C₁-C₂₃ alkyl hydrocarbon radical, which is straight-chain or branched and may contain double and/or triple bonds;
- R² is a substituted or unsubstituted, saturated or unsaturated, C₁-C₁₈ alkyl hydrocarbon radical, which is straight-chain or branched and may contain double and/or triple bonds; and
- n is an integer greater than or equal to 1,

wherein the aryl radical is attached to <u>said</u> the molecule to be transported via a chemical group, and wherein the chemical group together with the aryl radical together have one of the formulae F1 to F11

(F4)

(F5)

$$O$$
 CH_3

(F7)

(F8)

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$$(F10)$$

$$CH_3$$

10. (Currently Amended) The conjugate as claimed in claim 8 or claim 9 wherein said molecule comprises which comprises

a) a polynucleotide, oligonucleotide or mononucleotide and said conjugate comprises one or more said aryl radical(s)

b) one or more aryl radicals of the formula I,

wherein the aryl radical(s) is/are attached to the polynucleotide, oligonucleotide or mononucleotide at its 5' and/or 3' end and/or to

5' end-and/or

3' end and/or

one or more nucleobases and/or

one or more sugar radicals and/or

one or more internucleoside bonds thereof,

provided that wherein the aryl radical(s) is/are not attached by a CH₂-S group if the attachment is via an internucleotide phosphodiester bond.

- 11. (Currently Amended) A process for preparing the conjugate as claimed in claim 8 or claim 9, wherein
- a) the molecule to be transported which has a reactive group at the position to which the aryl radical is to be attached is prepared; and
- b) an aryl radical is prepared; and

including providing said aryl radical and said molecule which comprises a reactive group at the position to which the aryl radical is to be attached to said molecule and reacting

- e) the <u>said</u> molecule to be transported is reacted with the aryl radical to give the conjugate.
- 12. (Previously Presented) The process as claimed in claim 11, wherein the reactive group is an amino group, mercapto group, chloroacetyl group, isocyanate group, isothiocyanate group, carboxylic acid group, N-hydroxysuccinimide group or a carbonyl chloride group.
- 13. (Currently Amended) The process as claimed <u>in</u> claim 11, wherein the reaction of the <u>said</u> molecule to be transported with the aryl radical is carried out at a pH \leq 7.5.

- 14. (Currently Amended) The process as claimed in claim 11, wherein the reaction of the <u>said</u> molecule to be transported with the aryl radical is carried out at a pH of 7.0.
- 15. (Currently Amended) The process as claimed in claim 11, wherein the <u>said</u> molecule <u>comprises</u> to be transported is a polynucleotide, oligonucleotide or mononucleotide.
- 16. (Currently Amended) A method for transporting a molecule across a membrane, which comprises
- a) preparing the conjugate according to claim 8 or claim 9 in which the molecule to be transported is attached to at least one aryl radical of the formula I or II,
- b) incubating the conjugate according to claim 8 or claim 9 with the membrane, whereupon
- c) the conjugate is transported across the membrane.
- 17. (Currently Amended) A method for transporting a molecule into a cell, which comprises
- a) preparing the conjugate according to claim 8 or claim 9 in which the molecule to be transported is attached to at least one aryl radical of the formula I or II,
- b) incubating the conjugate according to claim 8 or claim 9 with the cell and wherein, whereupon
- e) the conjugate is transported into the cell without the aryl radical being cleaved off.

- 18. (Original) The method as claimed in claim 17, wherein the cell is a eukaryotic or a prokaryotic cell.
- 19. (Original) The method as claimed in claim 17, wherein the cell is a bacterial cell, yeast cell or a mammalian cell.
- 20. (Currently Amended) The method process method as claimed in claim 17, wherein the cell is a human cell.
- 21. (Currently Amended) The process method as claimed in claim 17, wherein the cell is a tumor cell.
- 22. (Currently Amended) A process for preparing a pharmaceutical composition comprising the conjugate as claimed in claim 8 or claim 9, which process comprises providing said aryl radical and said molecule which comprises a reactive group at the position to which the aryl radical is to be attached, and reacting said molecule
- a) preparing a pharmaceutically active compound or a derivative thereof, where said pharmaceutically active compound or said derivative contains at least one reactive group at a position to which an aryl radical is to be attached,
- b) preparing an aryl-radical of the formula I or II,
- e) reacting the pharmaceutically active compound or its derivative with said aryl radical to give the conjugate.
- 23. (Previously Presented) The process of claim 22, further comprising admixing the conjugate with an additive and/or an excipient.
- 24. (Previously Presented) A pharmaceutical composition, comprising the conjugate as claimed in claim 8 or claim 9.

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- 25. (Previously Presented) A diagnostic aid, comprising the conjugate as claimed in claim 8 or claim 9.
- 26. (Previously Presented) A test kit, comprising the conjugate as claimed in claim 8 or claim 9.
- 27. (New) The conjugate as claimed in claim 8, wherein said molecule is a macromolecule having a molecular weight of greater than 500 Daltons.
- 28. (New) The conjugate as claimed in claim 8, wherein said molecule comprises a polynucleotide, a polypeptide, or a polysaccharide.
- 29. (New) The conjugate as claimed in claim 8, wherein said molecule comprises an oligonucleotide.
- 30. (New) The conjugate as claimed in claim 29, wherein the oligonucleotide is modified.
- 31. (New) The conjugate as claimed in claim 8, wherein said molecule has a molecular weight of less than 500 Daltons.
- 32. (New) The conjugate as claimed in claim 31, wherein said molecule comprises a mononucleotide.
- 33. (New) A conjugate according to claim 8 or claim 9 wherein R¹ and R² are unsubstituted.
- 34. (New) A conjugate according to claim 33 wherein R¹ is unsaturated.
- 35. (New) A conjugate according to claim 8 or claim 9 wherein R^1 and R^2 are substituted.

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36. (New) A conjugate according to claim 35 wherein the substituent on R¹ is an aryl radical.